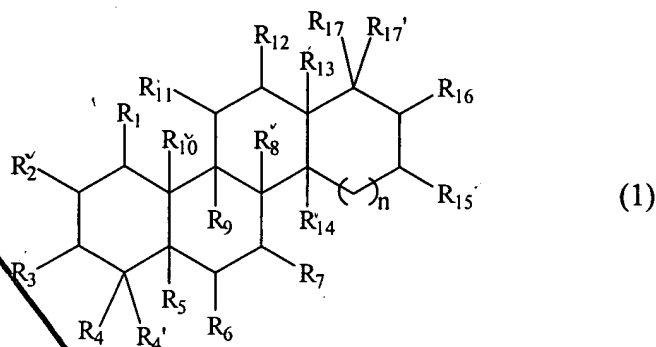


WHAT IS CLAIMED IS:

1. A compound of formula (1):



wherein

each of R_1 , R_2 , R_4 , R_4' , R_7 , R_{11} , R_{12} , R_{15} , R_{16} , R_{17} , and R_{17}' , independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R_3 is X-Y, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R_5 and R_6 , together, are -O-; or R_5 and R_6 , together, are a double bond between C-5 and C-6, and R_7 is oxo;

each of R_8 , R_9 , R_{10} , R_{13} , and R_{14} , independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and

n is 0, 1, or 2.

2. The compound of claim 1, wherein X is hydrogen or amino, and Y is -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

3. The compound of claim 1, wherein R_5 and R_6 , together, are -O-.

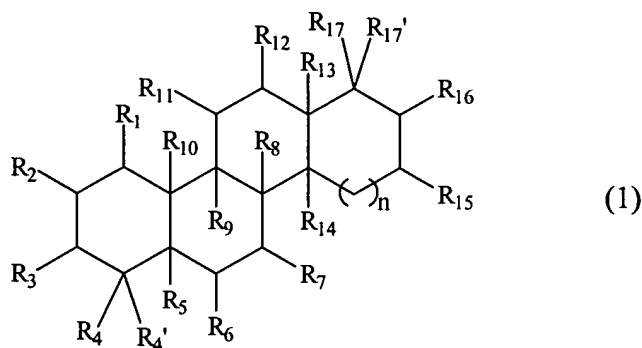
- 1 4. The compound of claim 3, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 5. The compound of claim 4, wherein X is hydrogen, and Y is -SO₃.
- 1 6. The compound of claim 3, wherein -O- is on the α side of C-5 and C-6.
- 1 7. The compound of claim 6, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 8. The compound of claim 7, wherein X is hydrogen, and Y is -SO₃.
- 1 9. The compound of claim 8, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen; and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.
- 1 10. The compound of claim 9, wherein the compound is 5 α , 6 α -epoxycholesterol-3-
2 sulfate.
- 1 11. An antibody which is specifically against the compound of claim 10.
- 1 12. The compound of claim 1, wherein R₅ and R₆, together, are a double bond between
2 C-5 and C-6, and R₇ is oxo.
- 1 13. The compound of claim 12, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 14. The compound of claim 13, wherein X is hydrogen, and Y is -SO₃-O-.

15. The compound of claim 14, wherein R_1 , R_2 , R_4 , R_4' , R_7 , R_8 , R_9 , R_{11} , R_{12} , R_{14} , R_{15} , R_{16} , and R_{17} are hydrogen; and each of R_{10} , R_{13} , and R_{17}' , independently, is alkyl.

16. The compound of claim 15, wherein the compound is 7-keto-cholesterol-3-sulfate.

17. An antibody which is specifically against the compound of claim 16.

18. A method of treating hypocholesterolemia, comprising administering to a subject in need thereof an effective amount of a compound of formula (1):



wherein

each of R_1 , R_2 , R_4 , R_4' , R_7 , R_{11} , R_{12} , R_{15} , R_{16} , R_{17} , and R_{17}' , independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R_3 is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R_5 and R_6 , together, are -O-; or R_5 and R_6 , together, are a double bond between C-5 and C-6, and R_7 is oxo;

each of R_8 , R_9 , R_{10} , R_{13} , and R_{14} , independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and

n is 0, 1, or 2.

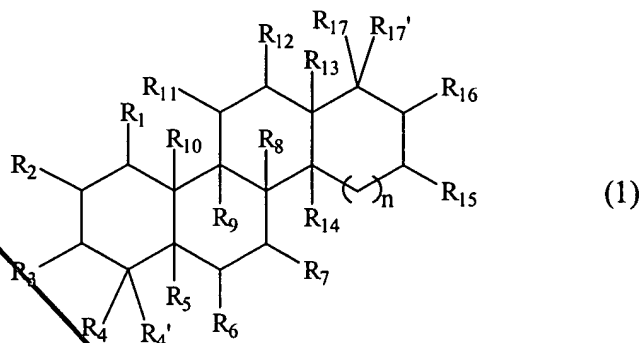
- 1 19. The method of claim 18, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 20. The method of claim 18, wherein R₅ and R₆, together, are -O-.
- 1 21. The method of claim 20, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 22. The method of claim 21, wherein X is hydrogen, and Y is -SO₃-O-.
- 1 23. The method of claim 20, wherein -O- is on the α side of C-5 and C-6.
- 1 24. The method of claim 23, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.
- 1 25. The method of claim 24, wherein X is hydrogen, and Y is -SO₃-O-.
- 1 26. The method of claim 25, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.
- 1 27. The method of claim 26, wherein the compound is 5 α , 6 α -epoxycholesterol-3-sulfate.
- 1 28. The method of claim 18, wherein R₅ and R₆, together, are a double bond between C-5
2 and C-6, and R₇ is oxo.
- 1 29. The method of claim 28, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

30. The method of claim 29, wherein X is hydrogen, and Y is -SO₃-O-.

31. The method of claim 30, wherein R₁, R₂, R₄, R₄', R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆, and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R₁₇', independently, is alkyl.

32. The method of claim 31, wherein the compound is 7-keto-cholesterol-3-sulfate.

33. A pharmaceutical composition comprising a compound of formula (1):



wherein

each of R₁, R₂, R₄, R₄', R₇, R₁₁, R₁₂, R₁₅, R₁₆, R₁₇, and R₁₇', independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R₃ is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R₅ and R₆, together, are -O-; or R₅ and R₆, together, are a double bond between C-5 and C-6, and R₇ is oxo;

each of R₈, R₉, R₁₀, R₁₃, and R₁₄, independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and

n is 0, 1, or 2;

and a pharmaceutically acceptable carrier.

34. The composition of claim 33, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-.

35. The composition of claim 33, wherein R₅ and R₆, together, are -O-.

36. The composition of claim 35, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-.

37. The composition of claim 36, wherein X is hydrogen, and Y is -SO₃-O-.

38. The composition of claim 35, wherein -O- is on the α side of C-5 and C-6.

39. The composition of claim 38, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-. 40. The composition of claim 39, wherein X is hydrogen, and Y is
-SO₃-O-.

41. The composition of claim 40, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅,
R₁₆, and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

42. The composition of claim 41, wherein the compound is 5 α , 6 α -epoxycholesterol-3-
sulfate.

43. The composition of claim 33, wherein R₅ and R₆, together, are a double bond between
C-5 and C-6, and R₇ is oxo.

44. The composition of claim 33, wherein X is hydrogen or amino, and Y is -O-SO₂-,
-SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
-N(alkyl)-CO-.

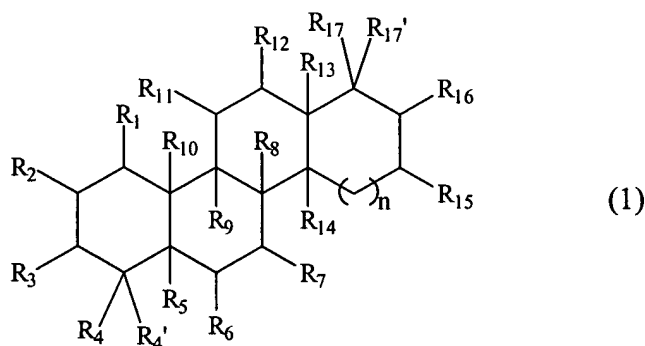
45. The composition of claim 44, wherein X is hydrogen, and Y is -SO₃-O-.

46. The composition of claim 45, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆, and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

47. The composition of claim 46, wherein the compound is 7-keto-cholesterol-3-sulfate.

48. A method of evaluating a compound for its agonistic effect on an liver X receptor, comprising:

contacting the compound to be evaluated with the liver X receptor in the presence of a compound of formula (1):



wherein

each of R₁, R₂, R₄, R_{4'}, R₇, R₁₁, R₁₂, R₁₅, R₁₆, R₁₇, and R_{17'}, independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -O-, -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid;

R₃ is X-Y-, wherein X is hydrogen, amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl; Y is -S-, -NH-, -N(alkyl)-, -SO-, -SO₂-, -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-;

R₅ and R₆, together, are -O-; or R₅ and R₆, together, are a double bond between C-5 and C-6, and R₇ is oxo;

each of R₈, R₉, R₁₀, R₁₃, and R₁₄, independently, is hydrogen, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; and
n is 0, 1, or 2; and assessing the agonistic effect of the compound to be evaluated on the liver X receptor.

49. The method of claim 48, wherein X is hydrogen or amino, and Y is -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

50. The method of claim 48, wherein R₅ and R₆, together, are -O-.

51. The method of claim 50, wherein X is hydrogen or amino, and Y is -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

52. The method of claim 51, wherein X is hydrogen, and Y is -SO₃-O-.

53. The method of claim 50, wherein -O- is on the α side of C-5 and C-6.

54. The method of claim 51, wherein X is hydrogen or amino, and Y is -O-SO₂-, -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-.

55. The method of claim 54, wherein X is hydrogen, and Y is -SO₃-O-.

56. The method of claim 55, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆, and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

57. The method of claim 56, wherein the compound is 5 α , 6 α -epoxycholesterol-3-sulfate.

1 58. The method of claim 48, wherein R₅ and R₆, together, are a double bond between C-5
2 and C-6, and R₇ is oxo.

1 59. The method of claim 48, wherein X is hydrogen or amino, and Y is -O-SO₂-,
2 -SO₂-O-, -SO₃-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or
3 -N(alkyl)-CO-.

1 60. The method of claim 59, wherein X is hydrogen, and Y is -SO₃-O-.

1 61. The method of claim 60, wherein R₁, R₂, R₄, R_{4'}, R₇, R₈, R₉, R₁₁, R₁₂, R₁₄, R₁₅, R₁₆,
2 and R₁₇ are hydrogen, and each of R₁₀, R₁₃, and R_{17'}, independently, is alkyl.

1 62. The method of claim 61, wherein the compound is 7-keto-cholesterol-3-sulfate.

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